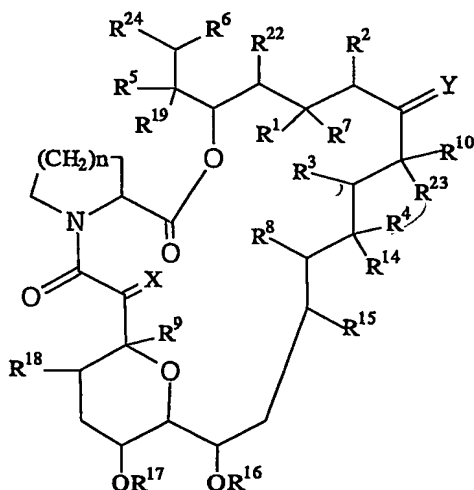


Claims

1. A method of treating a human patient suffering from ocular allergy, comprising administering to said patient an ophthalmic composition containing from about 0.01% to about 0.1% of macrolide compound.
2. A method according to claim 1 wherein said ocular allergy is allergic conjunctivitis.
3. A method according to claim 1 or 2 wherein said composition contains from about 0.03% to about 0.06% of said macrolide compound.
4. A method according to claim 3 wherein said macrolide compound composition contains about 0.03% of said macrolide compound.
5. A method according to claim 1 wherein said macrolide compound is FK506.
6. A method according to claim 1 wherein said ophthalmic composition is eye drop.
7. A method according to claim 6, wherein said eye drop further contains polyvinyl alcohol.
8. A method according to claim 7, wherein said eye drop contains about 0.03% of said macrolide compound.
9. A method according to claim 8, wherein said eye drop is administered from about one to about 4 times per day.
10. A method according to any of claims 1 to 9, wherein said macrolide compound is a compound having the following formula (I) or a pharmaceutically acceptable salt thereof:



(I)

wherein adjacent pairs of R^1 and R^2 , R^3 and R^4 , and R^5 and R^6 each independently

a) consist of two adjacent hydrogen atoms, wherein R^2 is optionally alkyl, or

b) form another bond optionally between carbon atoms binding with the members of said pairs;

R^7 is hydrogen atom, hydroxy, alkyloxy or protected hydroxy, or may form oxo with R^1 ;

R^8 and R^9 each independently show hydrogen atom or hydroxy;

R^{10} is hydrogen atom, alkyl, alkyl substituted by one or more hydroxy, alkenyl, alkenyl substituted by one or more hydroxy or alkyl substituted by oxo;

X is oxo, (hydrogen atom, hydroxy), (hydrogen atom, hydrogen atom), or a group of the formula $-CH_2O-$;

Y is oxo, (hydrogen atom, hydroxy), (hydrogen atom, hydrogen atom), or a group of the formula $N-NR^{11}R^{12}$ or $N-OR^{13}$;

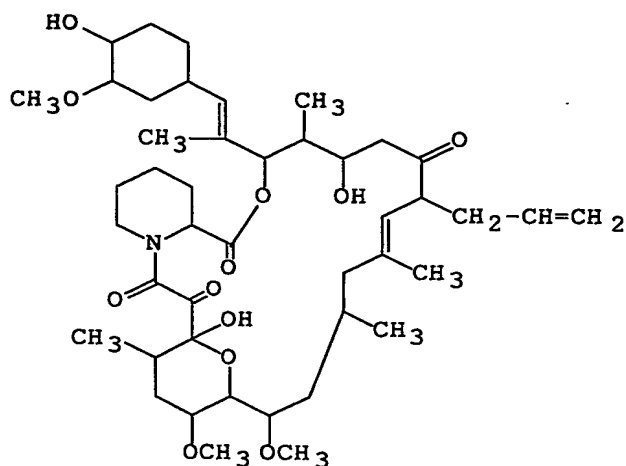
R^{11} and R^{12} each independently show hydrogen atom, alkyl, aryl or tosyl;

R^{13} , R^{14} , R^{15} , R^{16} , R^{17} , R^{18} , R^{19} , R^{22} and R^{23} each independently show hydrogen atom or alkyl;

R^{24} is an optionally substituted ring that may contain one or more hetero atom(s); and

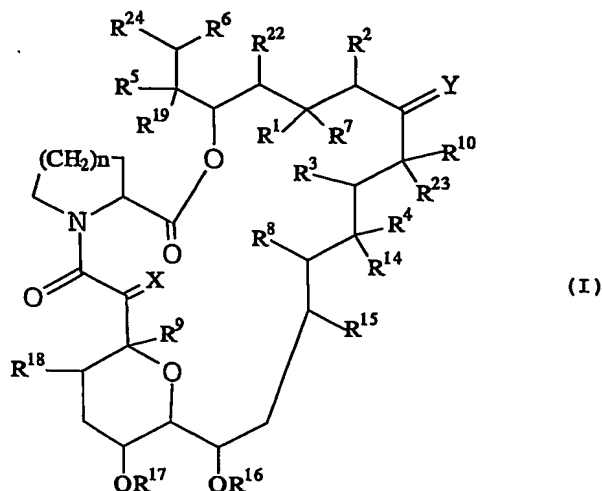
n is 1 or 2.

11. A method according to claim 10, wherein said macrolide compound has the following structure:



12. An ophthalmic composition for treatment of ocular allergy containing from about 0.01% to about 0.1% of macrolide compound.
13. An ophthalmic composition according to claim 12 wherein said ocular allergy is allergic conjunctivitis.
14. An ophthalmic composition according to claim 12 or 13 which contains from about 0.03% to about 0.06% of said macrolide compound.
15. An ophthalmic composition according to claim 14 which contains about 0.03% of said macrolide compound.
16. An ophthalmic composition according to claim 12 wherein said macrolide compound is FK506.
17. An ophthalmic composition according to claim 12 which is an eye drop.
18. An ophthalmic composition according to claim 17, wherein said eye drop further contains polyvinyl alcohol.
19. An ophthalmic composition according to claim 18, wherein said eye drop contains about 0.03% of said macrolide compound.
20. An ophthalmic composition according to claim 19, wherein said eye drop is administered from about one to about 4 times per day.

21. An ophthalmic composition according to any of claims 12 to 20, wherein said macrolide compound is a compound having the following formula (I) or a pharmaceutically acceptable salt thereof:



wherein adjacent pairs of R^1 and R^2 , R^3 and R^4 , and R^5 and R^6 each independently

- a) consist of two adjacent hydrogen atoms, wherein R^2 is optionally alkyl, or
- b) form another bond optionally between carbon atoms binding with the members of said pairs;

R^7 is hydrogen atom, hydroxy, alkyloxy or protected hydroxy, or may form oxo with R^1 ;

R^8 and R^9 each independently show hydrogen atom or hydroxy;

R^{10} is hydrogen atom, alkyl, alkyl substituted by one or more hydroxy, alkenyl, alkenyl substituted by one or more hydroxy or alkyl substituted by oxo;

X is oxo, (hydrogen atom, hydroxy), (hydrogen atom, hydrogen atom), or a group of the formula $-CH_2O-$;

Y is oxo, (hydrogen atom, hydroxy), (hydrogen atom, hydrogen atom), or a group of the formula $N-NR^{11}R^{12}$ or $N-OR^{13}$;

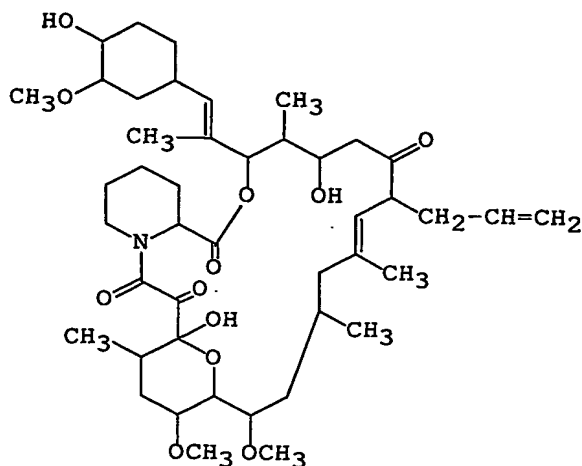
R^{11} and R^{12} each independently show hydrogen atom, alkyl, aryl or tosyl;

R^{13} , R^{14} , R^{15} , R^{16} , R^{17} , R^{18} , R^{19} , R^{22} and R^{23} each independently show hydrogen atom or alkyl;

R^{24} is an optionally substituted ring that may contain one or more hetero atom(s); and

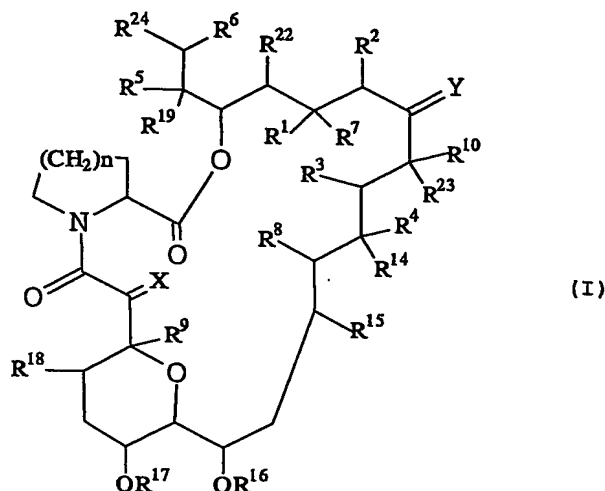
n is 1 or 2.

22. An ophthalmic composition according to claim 21, wherein said macrolide compound has the following structure:



23. A use of macrolide compound for manufacturing an ophthalmic composition for treatment of ocular allergy, wherein said composition contains from about 0.01% to about 0.1% of said macrolide compound.
24. A use according to claim 23 wherein said ocular allergy is allergic conjunctivitis.
25. A use according to claim 23 or 24 wherein said composition contains from about 0.03% to about 0.06% of said macrolide compound.
26. A use according to claim 25 wherein said composition contains about 0.03% of said macrolide compound.
27. A use according to claim 23 wherein said macrolide compound is FK506.
28. A use according to claim 23 wherein said ophthalmic composition is an eye drop.
29. A use according to claim 28, wherein said eye drop further comprises polyvinyl alcohol.
30. A use according to claim 29, wherein said eye drop contains about 0.03% of said macrolide compound.
31. A use according to claim 30, wherein said eye drop is administered from about one to about 4 times per day.

32. A use according to any of claims 23 to 31, wherein said macrolide compound is a compound having the following formula (I) or a pharmaceutically acceptable salt thereof:



wherein adjacent pairs of R^1 and R^2 , R^3 and R^4 , and R^5 and R^6 each independently

- a) consist of two adjacent hydrogen atoms, wherein R^2 is optionally alkyl, or
- b) form another bond optionally between carbon atoms binding with the members of said pairs;

R^7 is hydrogen atom, hydroxy, alkyloxy or protected hydroxy, or may form oxo with R^1 ;

R^8 and R^9 each independently show hydrogen atom or hydroxy;

R^{10} is hydrogen atom, alkyl, alkyl substituted by one or more hydroxy, alkenyl, alkenyl substituted by one or more hydroxy or alkyl substituted by oxo;

X is oxo, (hydrogen atom, hydroxy), (hydrogen atom, hydrogen atom), or a group of the formula $-\text{CH}_2\text{O}-$;

Y is oxo, (hydrogen atom, hydroxy), (hydrogen atom, hydrogen atom), or a group of the formula $\text{N}-\text{NR}^{11}\text{R}^{12}$ or $\text{N}-\text{OR}^{13}$;

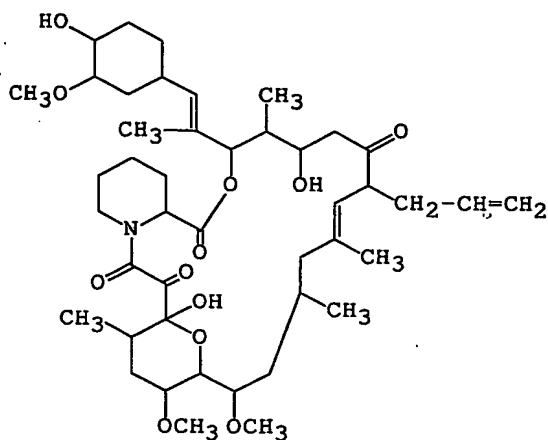
R^{11} and R^{12} each independently show hydrogen atom, alkyl, aryl or tosyl;

R^{13} , R^{14} , R^{15} , R^{16} , R^{17} , R^{18} , R^{19} , R^{22} and R^{23} each independently show hydrogen atom or alkyl;

R^{24} is an optionally substituted ring that may contain one or more hetero atom(s); and

n is 1 or 2.

33. A use according to claim 32, wherein said macrolide compound has the following structure:



34. A commercial package comprising the ophthalmic composition of any of claims 12 to 22 and a written matter associated therewith, the written matter stating that the composition can or should be used for allergic conjunctivitis.